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(54) Title: **PANCRATISTATIN CYCLIC PHOSPHATE PRODRUGS AN PHENPANSTATIN CYCLIC PHOSPHATE PRODRUGS**

(57) Abstract: Selective phosphorylation of phenpanstatin (3a) with tetrabutylammonium dihydrogen phosphate and dicyclohexylcarbodiimide in pyridine followed by cation exchange chromatographic procedures was found to provide an efficient route to a new series (3b-3d) of promising 3,4-O-cyclic phosphate prodrugs designated phenpanstatin phosphates. Application of analogous reaction conditions to pancratistatin (1a) led to a mixture of monophosphate derivatives where sodium pancratistatin 4-O-phosphate (4a) was isolated and the structure confirmed by x-ray crystallography. Modification of the reaction conditions allowed direct phosphorylation of pancratistatin followed by cation exchange chromatography to afford sodium pancratistatin 3,4-O-cyclic phosphate (5b) which was selected for preclinical development.



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